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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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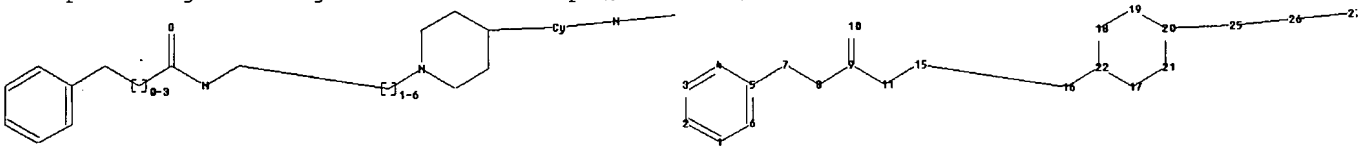

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1  2  3  4  5  6  17  18  19  20  21  22
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5-7  7-8  8-9  9-10  9-11  11-15  15-16  16-22  20-25  25-26  26-27
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  17-21  17-22  18-19  18-22  19-20  20-21
exact/norm bonds :
9-10  9-11  11-15  16-22  17-21  17-22  18-19  18-22  19-20  20-21  20-25  25-26
26-27
exact bonds :
5-7  7-8  8-9  15-16
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 : 17 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
11:CLASS  15:CLASS  16:CLASS  17:Atom  18:Atom  19:Atom  20:Atom  21:Atom  22:Atom
25:Atom  26:CLASS  27:CLASS
Generic attributes :
25:
Saturation              : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System     : Monocyclic




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L5            1 L4 AND PD<JULY 2001

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AN   2004:162444  CAPLUS  Full-text
DN   140:212060
TI   DNA encoding a human melanin concentrating hormone receptor (MCH1) and
     uses thereof and preparation of 4-phenylpiperidine derivatives as human
     MCH1 receptor antagonists
IN   Salon, John A.; Laz, Thomas M.; Nagorny, Raisa; Wilson, Amy E.; Craig,
     Douglas A.
PA   USA
SO   U.S. Pat. Appl. Publ., 180 pp., Cont.-in-part of U.S. Ser. No. 899,732.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 4
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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             IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
             MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
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     WO 2004064774        A2    20040805    WO 2004-US724          20040114
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PRAI WO 1999-US31169      A2    19991230
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     US 2003-341751       A     20030114
GI
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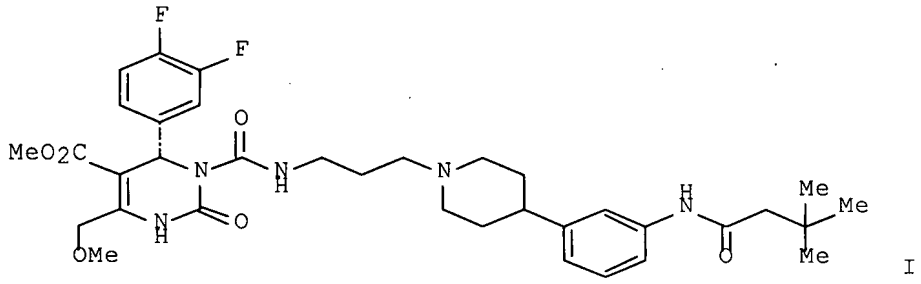


I

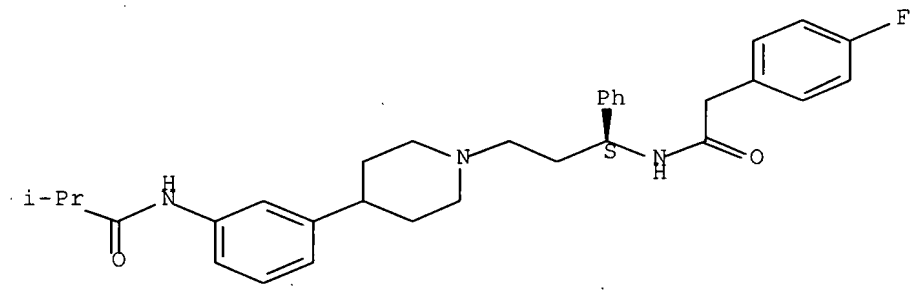
AB This invention provides an isolated nucleic acid encoding a human MCH1 receptor, a purified human MCH1 receptor, vectors comprising isolated nucleic acid encoding a human MCH1 receptor, cells comprising such vectors, antibodies directed to a human MCH1 receptor, nucleic acid probes useful for detecting nucleic acid encoding human MCH1 receptors, antisense oligonucleotides complementary to unique sequences of nucleic acid encoding human MCH1 receptors, transgenic, nonhuman animals which express DNA encoding a normal or mutant human MCH1 receptor, methods of isolating a human MCH1 receptor, methods of treating an abnormality that is linked to the activity of a human MCH1 receptor, as well as methods of determining binding of compds. to mammalian MCH1 receptors. This invention further provides a method of treating a subject suffering from urinary incontinence which comprises administering to the subject an amount of an MCH1 antagonist effective to treat the subject's urinary incontinence or overactive bladder. Various 4-phenylpiperidine derivs., e.g (I), were synthesized and tested as human MCH1 receptor antagonists.

IT 487049-38-7P, N-[3-[1-[(3S)-3-[[(4-Fluorophenyl)acetyl]amino]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide
487051-75-2P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(DNA encoding human melanin concentrating hormone receptor (MCH1) and uses thereof and preparation of phenylpiperidine derivs. as human MCH1 antagonists)

RN 487049-38-7 CAPLUS

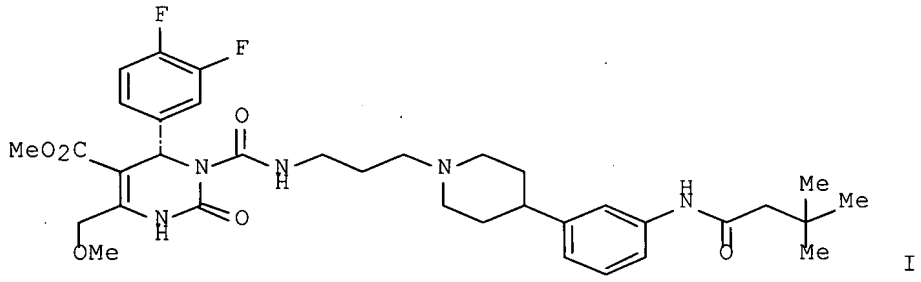
CN Benzeneacetamide, 4-fluoro-N-[(1S)-3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 487051-75-2 CAPLUS

CN Benzeneacetamide, N-[3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-



I

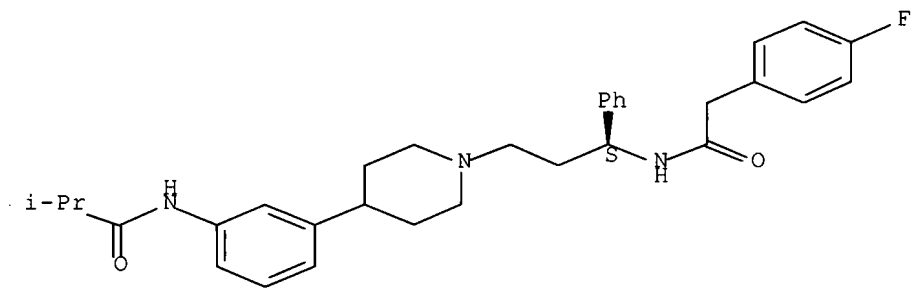
AB This invention provides an isolated nucleic acid encoding a human MCH1 receptor, a purified human MCH1 receptor, vectors comprising isolated nucleic acid encoding a human MCH1 receptor, cells comprising such vectors, antibodies directed to a human MCH1 receptor, nucleic acid probes useful for detecting nucleic acid encoding human MCH1 receptors, antisense oligonucleotides complementary to unique sequences of nucleic acid encoding human MCH1 receptors, transgenic, nonhuman animals which express DNA encoding a normal or mutant human MCH1 receptor, methods of isolating a human MCH1 receptor, methods of treating an abnormality that is linked to the activity of a human MCH1 receptor, as well as methods of determining binding of compds. to mammalian MCH1 receptors. This invention further provides a method of treating a subject suffering from urinary incontinence which comprises administering to the subject an amount of an MCH1 antagonist effective to treat the subject's urinary incontinence or overactive bladder. Various 4-phenylpiperidine derivs., e.g (I), were synthesized and tested as human MCH1 receptor antagonists.

IT 487049-38-7P, N-[3-[1-[(3S)-3-[[(4-Fluorophenyl)acetyl]amino]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide
487051-75-2P, N-[3-[1-[3-[(Diphenylacetyl)amino]propyl]-4-piperidinyl]phenyl]-2-methylpropanamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(DNA encoding human melanin concentrating hormone receptor (MCH1) and uses thereof and preparation of phenylpiperidine derivs. as human MCH1 antagonists)

RN 487049-38-7 CAPLUS

CN Benzeneacetamide, 4-fluoro-N-[(1S)-3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 487051-75-2 CAPLUS

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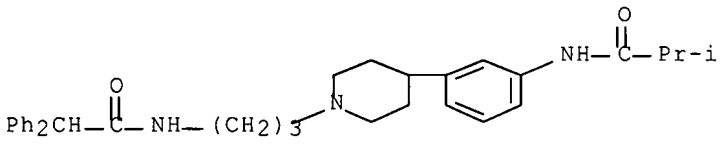
piperidinyl]propyl]-α-phenyl- (9CI) (CA INDEX NAME)



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L6   ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2007:836912  CAPLUS  Full-text
DN   147:335595
TI   Synthesis and SAR Investigations for Novel Melanin-Concentrating Hormone 1
     Receptor (MCH1) Antagonists Part 2: A Hybrid Strategy Combining Key
     Fragments of HTS Hits
AU   Chen, Chien-An; Jiang, Yu; Lu, Kai; Daniewska, Irena; Mazza, Christine G.;
     Negron, Leonardo; Forray, Carlos; Parola, Tony; Li, Boshan; Hegde,
     Laxminarayan G.; Wolinsky, Toni D.; Craig, Douglas A.; Kong, Ron; Wetzel,
     John M.; Andersen, Kim; Marzabadi, Mohammad R.
CS   Departments of Chemistry Cellular Science and Target Discovery and
     Assessment, Lundbeck Research USA, Paramus, NJ, 07652-1413, USA
SO   Journal of Medicinal Chemistry (2007), 50(16), 3883-3890
     CODEN: JMCMAR; ISSN: 0022-2623
PB   American Chemical Society
DT   Journal
LA   English
AB   A novel series of melanin-concentrating hormone (MCH1) receptor antagonists
     based on combining key fragments from the high-throughput screening (HTS) hits
     compound 2 (SNAP 7941) and compound 5 (chlorohaloperidol) are described. The
     resultant analogs, exemplified by compds. 11a-11h, 15a-15h, and 16a-16g, were
     evaluated in in vitro and in vivo assays for their potential in treatment of
     mood disorders. From further SAR investigations, N-(3-{1-[4-(3,4-
     difluorophenoxy)benzyl]-4-piperidinyl}-4-methylphenyl)-2- methylpropanamide
     (16g, SNAP 94847) was identified to be a high affinity and selective ligand
     for the MCH1 receptor. Compound 16g also shows good oral bioavailability
     (59%) and exhibits a brain/plasma ratio of 2.3 in rats. Compound 16g showed
     in vivo inhibition of a centrally induced MCH-induced drinking effect and
     exhibited a dose-dependent anxiolytic effect in the rat social interaction
     model.
IT   762300-21-0
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (Synthesis and SAR Investigations for Novel Melanin-Concentrating Hormone 1
        Receptor (MCH1) Antagonists Part 2: A Hybrid Strategy Combining Key
        Fragments of HTS Hits)
RN   762300-21-0  CAPLUS
CN   Benzeneacetamide, N-[3-[4-[2,4-difluoro-5-[(2-methyl-1-
     oxopropyl)amino]phenyl]-1-piperidinyl]propyl]-4-fluoro-α-(4-
     fluorophenyl)-  (CA INDEX NAME)
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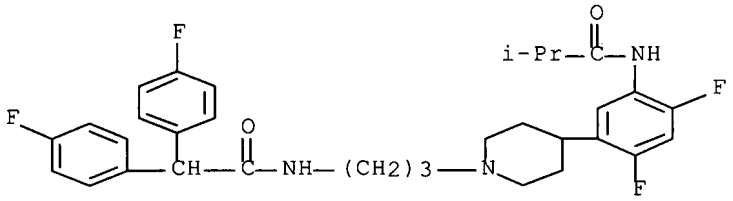


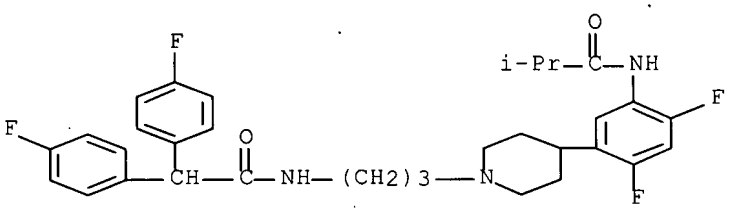
RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:836911 CAPLUS Full-text
DN 147:365364
TI Synthesis and SAR Investigations for Novel Melanin-Concentrating Hormone 1 Receptor (MCH1) Antagonists Part 1. The Discovery of Arylacetamides as Viable Replacements for the Dihydropyrimidinone Moiety of an HTS Hit
AU Jiang, Yu; Chen, Chien-An; Lu, Kai; Daniewska, Irena; De Leon, John; Kong, Ron; Forray, Carlos; Li, Boshan; Hegde, Laxminarayan G.; Wolinsky, Toni D.; Craig, Douglas A.; Wetzel, John M.; Andersen, Kim; Marzabadi, Mohammad R.
CS Departments of Chemistry Cellular Science and Target Discovery and Assessment, Lundbeck Research USA, Paramus, NJ, 07652-1413, USA
SO Journal of Medicinal Chemistry (2007), 50(16), 3870-3882
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Melanin-concentrating hormone (MCH) is involved in the regulation of feeding, water balance, energy metabolism, general arousal and attention state, memory, cognitive functions, and psychiatric disorders. Herein, two new chemical series exemplified by N-[5-(1-{3-[2,2-bis-(4-fluoro-phenyl)-acetylamino]-propyl}-piperidin-4-yl)-2,4-difluoro-phenyl]-isobutyramide (SNAP 102739) (I) and N-[3-(1-{3-[(S)-2-(4-fluoro-phenyl)-propionylamino]-propyl}- piperidin-4-yl)-4-methylphenyl]-isobutyramide (II) are reported. These compds. were designed to improve the pharmacokinetic properties of the high-throughput screening lead compound III (SNAP 7941). The MCH1 receptor antagonists I and II show reasonable pharmacokinetic profiles (rat bioavailability = 48 and 81%, resp.). Compds. I and II demonstrated the inhibition of a centrally administered MCH-evoked drinking effect, and I exhibited oral in vivo efficacy in the rat social interaction model of anxiety, with a min. ED = 0.3 mg/kg.
IT 762300-21-0P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, melanin-concentrating hormone 1 receptor antagonistic activity, and
SAR of (arylacetylamino)alkylpiperidine derivs.)
RN 762300-21-0 CAPLUS
CN Benzeneacetamide, N-[3-[4-[2,4-difluoro-5-[(2-methyl-1-

oxopropyl)amino]phenyl]-1-piperidinyl]propyl]-4-fluoro-α-(4-fluorophenyl)- (CA INDEX NAME)



RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:780358 CAPLUS Full-text
DN 141:295863
TI Preparation of N-(piperidinylalkyl)benzenealkanamides as selective MCH1 receptor antagonists for treatment of obesity and other conditions
IN Marzabadi, Mohammad R.; Wetzel, John M.; Chen, Chien-An; Jiang, Yu; Lu, Kai
PA Synaptic Pharmaceutical Corporation, USA
SO U.S. Pat. Appl. Publ., 87 pp., Cont.-in-part of U.S. Pat. Appl. 2004 73,036.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

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PI US 2004186103	A1	20040923	US 2004-753057	20040106
US 2006084649	A9	20060420		
US 7199135	B2	20070403		
WO 2003004027	A1	20030116	WO 2002-US21063	20020703
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	CN 1735595	A	20060215	CN 2004-80002080	20040106
	JP 2006515618	T	20060601	JP 2006-500796	20040106
	ZA 2005004218	A	20060726	ZA 2005-4218	20050524
	IN 2005CN01886	A	20070330	IN 2005-CN1886	20050810
	NO 2005003838	A	20050815	NO 2005-3838	20050815
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	US 2002-188434	A2	20020703		
	WO 2002-US21063	A2	20020703		
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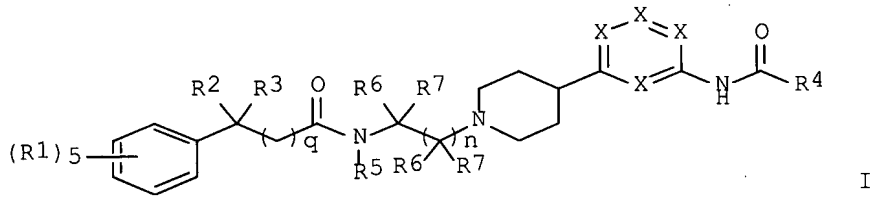

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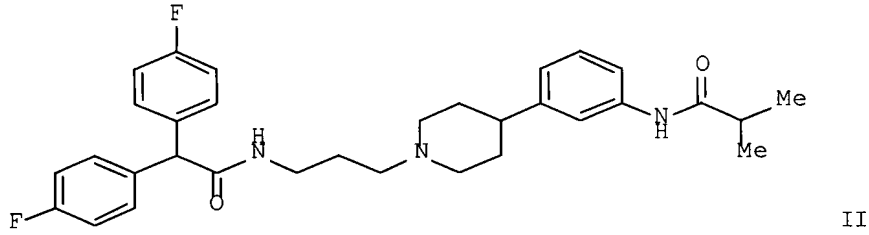


II

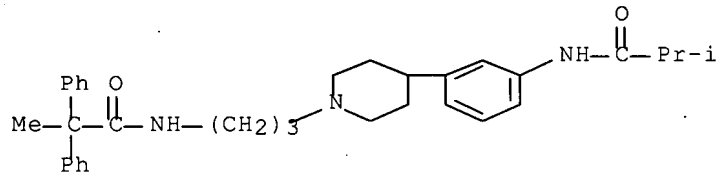
AB Title compds. I [wherein R1 = independently H, halo, CN, NO2, (cyclo)alkyl, (cyclo)alkenyl, (hetero)aryl, amino, acyl, carbamoyl, etc.; R2, R3 = independently H, halo, CN, NH2, (un)substituted alkyl, (hetero)aryl; R4 = (cyclo)alkyl, amino, etc.; R5 = independently H, (un)substituted (hetero)aryl, alkyl; R6 = independently H, alkyl; R7 = independently H, alkyl, phenyl(alkyl); n = 1-5; q = 0-2; X = independently CR1, N, provided that if one X = N, then the remaining X = CR1; or pharmaceutically acceptable salts thereof] were prepared as selective antagonists for melanin-concentrating hormone-1 (MCH1) receptors. For example, amidation of bis(4-fluorophenyl)acetic acid with N-[3-[1-(3-aminopropyl)-4- piperidinyl]phenyl]-2-methylpropanamide gave II. The latter showed binding affinity (Ki = 1.3 nM) in a radioligand binding assay using cloned rat MCH1 and produced an increase in bladder capacity in rats relative to baseline capacity in a continuous slow transvesicular infusion model assay. Thus, I and pharmaceutical composition comprising I are useful for the treatment of obesity, depression, anxiety, and other affective, urinary, or eating disorders.

IT 762297-70-1P, N-[3-[4-[3-(Isobutyrylamino)phenyl]-1-

piperidinyl]propyl]-2,2-diphenylpropanamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(MCH1 receptor antagonist; preparation of N-(piperidinylalkyl)benzenealkanamides as MCH1 receptor antagonists for treatment of obesity and other conditions)

RN 762297-70-1 CAPLUS

CN Benzeneacetamide, α-methyl-N-[3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]propyl]-α-phenyl- (CA INDEX NAME)



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:675723 CAPLUS Full-text
DN 141:207056
TI Preparation of piperidine derivatives as Melanin-concentrating hormone receptor antagonists
IN Moriya, Minoru; Sakamoto, Toshihiro; Ishikawa, Makoto; Kanatani, Akio; Fukami, Takehiro
PA Banyu Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004069798	A1	20040819	WO 2004-JP1326	20040209
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	AU 2004209505	A1	20040819	AU 2004-209505	20040209
	CA 2515717	A1	20040819	CA 2004-2515717	20040209
	EP 1595867	A1	20051116	EP 2004-709372	20040209
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	US 2006106046	A1	20060518	US 2005-544261	20050803
PRAI	JP 2003-32123	A	20030210		
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OS MARPAT 141:207056

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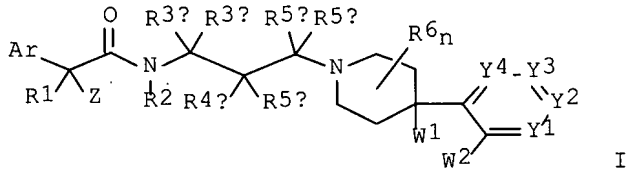

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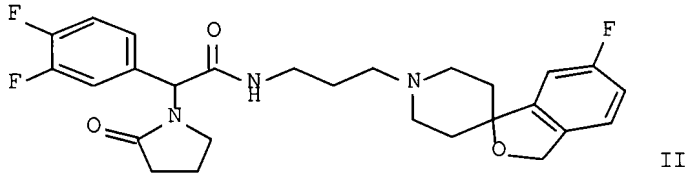


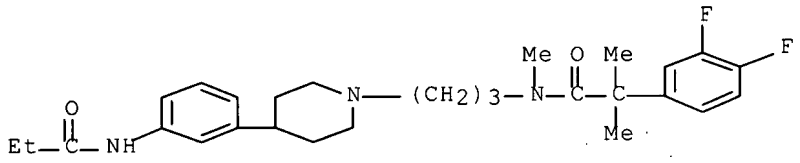
II

AB Title compds. presented by the formula I [wherein R1 = H, hydroxy, (halo)alkyl; R2, R3a, R3b, R5a, R5b = independently H or (halo)alkyl; R4a, R4b = independently H, halo, hydroxy, (halo)alkyl; R6 = H, halo, (halo)alkyl; n = 1-8; W1, W2 = H or W1W2 = OCH2, CH2CH2, CH2O; Z = alkyl or (un)substituted (hetero)cyclic ring; R1Z = (un)substituted (hetero)cyclic ring; Ar = (un)substituted (hetero)aryl; Y1-Y4 = (un)substituted methylene or N; and pharmaceutically acceptable salts thereof] were prepared as melanin concentrating hormone receptor antagonists (no data). For example, II was given in a 3-steps synthesis starting from the reaction of spiro[6-fluoroisobenzofuran-1(3H),4'-piperidine]•HCl with N-(3-bromopropyl)phthalimide. Thus, I and their pharmaceutical compns. are useful as antagonist against melanin -concentrating hormone receptor for the treatment of CNS diseases, circulatory diseases, or metabolic diseases (no data).

IT 741682-44-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as melanin-concentrating hormone receptor antagonists)

RN 741682-44-0 CAPLUS

CN Benzeneacetamide, 3,4-difluoro-N,α,α-trimethyl-N-[3-[4-[3-[(1-oxopropyl)amino]phenyl]-1-piperidinyl]propyl]- (CA INDEX NAME)



L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:344622 CAPLUS Full-text
DN 140:357212
TI Preparation of substituted anilinic piperidines as MCH selective

antagonists
IN Marzabadi, Mohammad R.; Wetzel, John; Deleon, John E.; Jiang, Yu; Chen, Chien-An; Lu, Kai
PA Synaptic Pharmaceutical Corporation, USA
SO U.S., 394 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

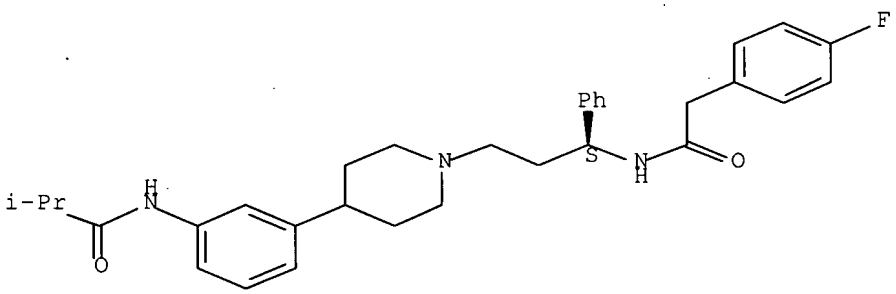
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6727264	B1	20040427	US 2002-188434	20020703
	US 2004073036	A1	20040415	US 2003-345063	20030114
	US 2006041139	A9	20060223		
	US 7105544	B2	20060912		
	US 7067534	B1	20060627	US 2003-719358	20031121
	US 2004186103	A1	20040923	US 2004-753057	20040106
	US 2006084649	A9	20060420		
	US 7199135	B2	20070403		
	US 2006217418	A1	20060928	US 2005-541991	20050705
	US 2007043080	A1	20070222	US 2005-214968	20050830
PRAI	US 2001-303091P	P	20010705		
	US 2002-346997P	P	20020109		
	US 2002-188434	A2	20020703		
	WO 2002-US21063	A2	20020703		
	US 2003-345063	A2	20030114		
	US 2003-719358	A1	20031121		
	WO 2004-US175	W	20040106		

OS MARPAT 140:357212
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I (R1 = H, alkyl, aryl, etc.; R2 = alkyl, cyclopropyl; R3 = (un)substituted (hetero)aryl; A = H, F, Cl, Br, CN, etc.; X = O, NH; n = 0-5), II (W = III, IV (wherein R1 = H, Me, Et; X = O, NR3, CO, a bond; Y = H, (hetero)aryl; R3 = H, (hetero)aryl); R2 and A as above)] which are selective antagonists for melanin concentrating hormone-1 (MCH1) receptors, were prepared Thus, reacting 2-methyl-N-[3-(4-piperidinyl)phenyl]propanamide (preparation given) with 4-chloro-3',4'-dimethylbutyrophenone in the presence of K2CO3 and NaI in DMF afforded 80% V which showed Ki of 3.9 nM in cloned rat MCH1 binding assay.
IT 487049-38-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted anilinic piperidines as MCH selective antagonists)
RN 487049-38-7 CAPLUS
CN Benzeneacetamide, 4-fluoro-N-[(1S)-3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:42108 CAPLUS Full-text
DN 138:106601
TI Preparation of substituted anilinic piperidines as MCH selective antagonists
IN Marzabadi, Mohammad R.; Wetzel, John; Deleon, John E.; Jiang, Yu
PA Synaptic Pharmaceutical Corporation, USA
SO PCT Int. Appl., 771 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003004027	A1	20030116	WO 2002-US21063	20020703
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	AU 2002316531	A1	20030121	AU 2002-316531	20020703
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	EP 1411942	A1	20040428	EP 2002-746843	20020703
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002010869	A	20040629	BR 2002-10869	20020703
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	HU 2004001880	A2	20050128	HU 2004-1880	20020703
	ZA 200309860	A	20050311	ZA 2003-9860	20020703
	CN 1671386	A	20050921	CN 2002-817212	20020703
	NZ 530221	A	20060331	NZ 2002-530221	20020703
	US 2004073036	A1	20040415	US 2003-345063	20030114
	US 2006041139	A9	20060223		
	US 7105544	B2	20060912		
	MX 2003PA11886	A	20050307	MX 2003-PA11886	20031218
	NO 2004000028	A	20040304	NO 2004-28	20040105
	US 2004186103	A1	20040923	US 2004-753057	20040106
	US 2006084649	A9	20060420		

	US 7199135	B2	20070403		
	IN 2004CN00230	A	20051209	IN 2004-CN230	20040205
PRAI	US 2001-899794	A	20010705		
	US 2002-42582	A	20020109		
	US 2001-303091P	P	20010705		
	US 2002-346997P	P	20020109		
	US 2002-188434	A2	20020703		
	WO 2002-US21063	W	20020703		
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OS MARPAT 138:106601

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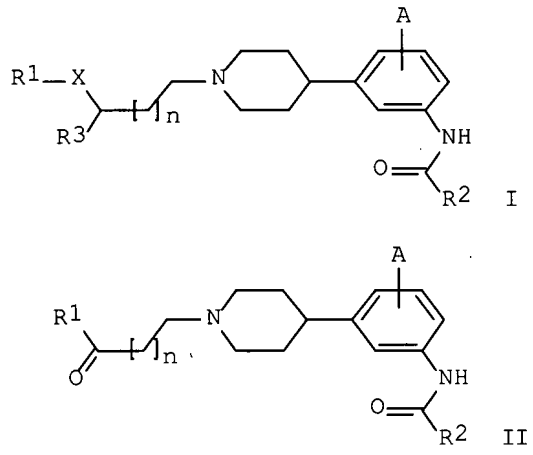


I

II

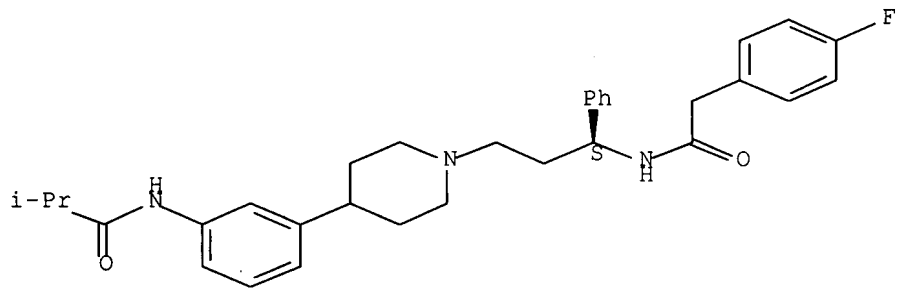
AB The title compds. [I (R1 = H, alkyl, aryl, etc.; R2 = alkyl, cyclopropyl; R3 = (un)substituted (hetero)aryl; A = H, F, Cl, Br, CN, etc.; X = O, NH; n = 0-5), II (R1 = (un)substituted (hetero)aryl; R2, A, n as above), etc.] which are selective antagonists for melanin concentrating hormone-1 (MCH1) receptors, were prepared and formulated. Thus, reacting 2-methyl-N-[3-(4-piperidinyl)phenyl]propanamide (preparation given) with 4-chloro-3',4'-dimethylbutyrophenone in the presence of K2CO3 and NaI in DMF afforded 80% II [R1 = R1 = 3,4-Me2C6H3; R2 = iso-Pr; A = H; n = 2] which showed Ki of 3.9 nM in cloned rat MCH1 binding assay.

IT 487049-38-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted anilinic piperidines as MCH selective antagonists)

RN 487049-38-7 CAPLUS

CN Benzeneacetamide, 4-fluoro-N-[(1S)-3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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